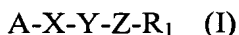


## WHAT IS CLAIMED IS:

1. A method for sequestering and/or removing LDL comprising contacting a medium comprising LDL with a sequestering and/or removing effective amount of a compound of chemical formula (I)



wherein A comprises a carboxy group or is absent;

X comprises a polyol, wherein one or more polyol hydroxyls are substituted by acyl;

Y comprises -C(=O)-, -C(=S)-, or is absent;

Z comprises O, S or NH; and

R<sub>1</sub> comprises a polyether.

2. The method of claim 1, wherein in the polyol acyl comprises a fatty acid(s).

3. The method of claim 1, wherein the LDL is sequestered and/or removed by in vitro, ex vivo, or in vivo administration of the compound of formula (I).

4. A method for inhibiting atherosclerosis or atherosclerotic development, comprising the method of claim 1, which is conducted by contacting or administering an anti-atherosclerosis or anti-atherosclerotic development amount of a compound of formula (I).

5. The method of claim 2 or 3, wherein the compound is contacted with, or administered to an animal.

6. The method of claim 5, wherein the animal comprises a mammal.

7. The method of claim 6, wherein the mammal comprises a human.

8. The method of any one of claims 1-7, wherein the polyol comprises a (C<sub>2</sub>-C<sub>20</sub>) alkyl polyol.

9. The method of any one of claims 1-8, wherein the polyol comprises about 2 to about 20 hydroxyl groups.

10. The method of any one of claims 1-9, wherein the polyol is substituted with one or more acyl.

11. The method of any one of claims 1-10, wherein the polyol comprises a mono- or dicarboxylic (C<sub>2</sub>-C<sub>20</sub>) alkyl polyol substituted with about 1 to about 10 hydroxyl(s).

12. The method of any one of claims 1-11, wherein the polyol comprises one or more of mucic acid, malic acid, citromalic acid, alkylmalic acid, hydroxy glutaric acid derivatives, alkyl glutaric acids, tartaric acid, or citric acid.

13. The method of any one of claims 1-12, wherein the polyol comprises one or more of 2,2-(bis(hydroxymethyl)propionic acid, tricine, or a saccharide.

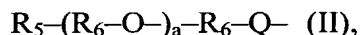
14. The method of any one of claims 1-13, wherein the polyether comprises about 2 to about 150 alkylene oxide units.

15. The method of claims 1-14, wherein each alkylene oxide unit comprises straight or branched (C<sub>2</sub>-C<sub>4</sub>) alkylene oxide.

16. The method of claims 1-15, wherein the polyether comprises an alkoxy-terminal group.

17. The method of any one of claims 1-16, wherein the polyether is linked to the polyol through a linker comprising ester, thioester, or amide.

18. The method of any one of claims 1-17, wherein the polyether comprises the chemical formula



wherein

R<sub>5</sub> comprises straight or branched (C<sub>1</sub>-C<sub>20</sub>) alkyl, -OH, -OR<sub>7</sub>, -NH<sub>2</sub>, -NHR<sub>7</sub>, -NHR<sub>7</sub>R<sub>8</sub>, -CO<sub>2</sub>H, -SO<sub>3</sub>H (sulfo), -CH<sub>2</sub>-OH, -CH<sub>2</sub>-OR<sub>7</sub>, -CH<sub>2</sub>-O-CH<sub>2</sub>-R<sub>7</sub>, -CH<sub>2</sub>-NH<sub>2</sub>, -CH<sub>2</sub>-NHR<sub>7</sub>, -CH<sub>2</sub>-NR<sub>7</sub>R<sub>8</sub>, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>SO<sub>3</sub>H, or -O-C(=O)-CH<sub>2</sub>-CH<sub>2</sub>-C(=O)-O-;

R<sub>6</sub> comprises straight or branched divalent (C<sub>2</sub>-C<sub>10</sub>) alkylene;

each R<sub>7</sub> and R<sub>8</sub> comprises, independently, straight or branched (C<sub>1</sub>-C<sub>6</sub>) alkylene;

Q comprises -O-, -S-, or -NR<sub>7</sub>; and

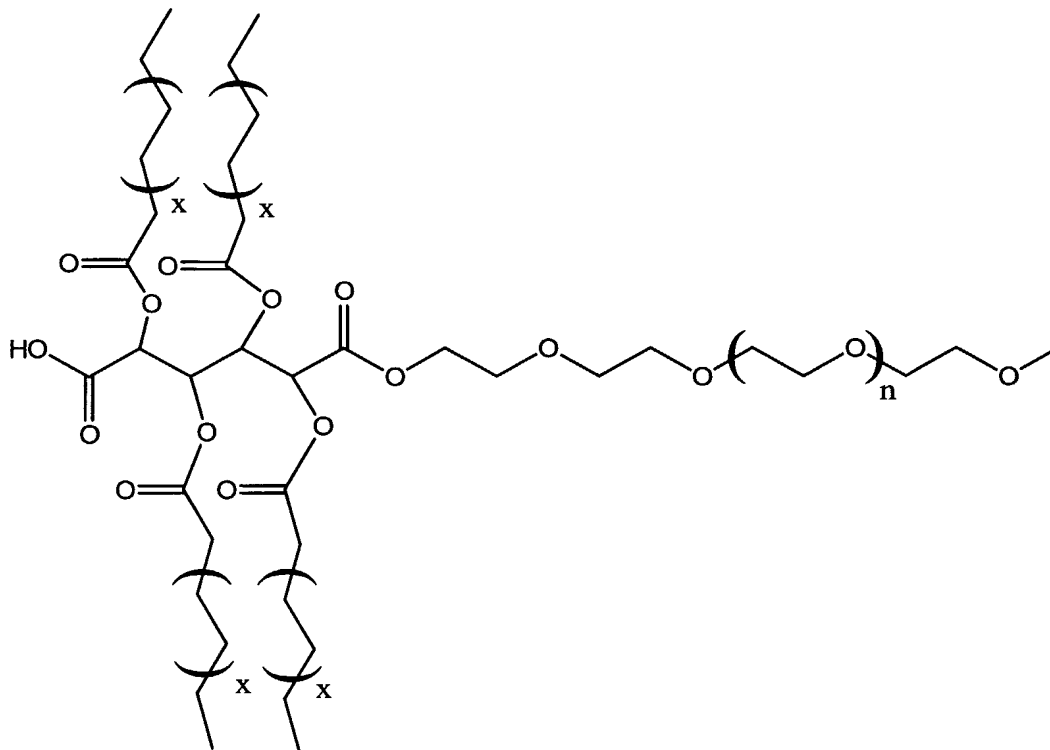
a comprises an integer of about 2 to about 110, inclusive.

19. The method of any one of claims 1-18, wherein the polyether comprises a polyethylene glycol comprising a methoxy terminal group.

20. The method of claim 2-19, wherein the fatty acid(s) comprise(s) (C<sub>2</sub>-C<sub>24</sub>) fatty acid(s).

21. The method of any one of claims 2-20, wherein the fatty acid(s) comprise(s) one or more of caprylic, capric, lauric, myristic, myristoleic, palmitic, palmitoleic, stearic, oleic, linoleic, arachidic, behenic, or erucic acid.

22. The method of any one of claims 1-21 wherein the compound of formula (I) has the chemical structure



(III)

wherein each x comprises, independently, 1, 2, 3, or 4; and n is about 36.

23. The method of anyone of claims 1-22, wherein the compound of chemical formula (I) or (II) is provided in the form of a nanoparticulate formulation.

24. The use of any one of the compounds of formula (I), (II), or (III) as described in any one of claims 1-22 to prepare a medicament useful for inhibiting or reducing atherosclerosis or atherosclerotic development in an animal.

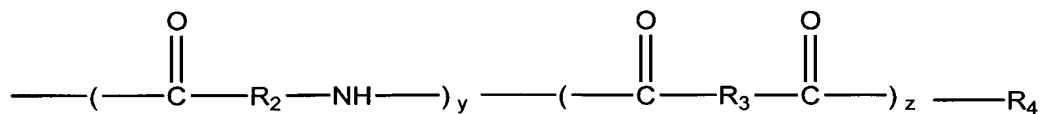
25. The use of claim 23 or 24, wherein the animal comprises a mammal.

26. The use of claim 23 or 24, wherein the mammal comprises a human.

27. A method for sequestering and/or removing LDL comprising contacting a medium comprising LDL with a sequestering and/or removing effective amount of a compound of

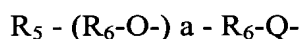


wherein  $R(-O-)_x$  is a polyol moiety and  $R(-NH)_x$  is a polyamine moiety, with  $x$  being between 2 and 10, inclusive, and each  $R_1$  independently has the structure:



wherein  $\text{---} \overset{\text{O}}{\parallel} \text{C} \text{---} R_2 \text{---} \text{NH} \text{---}$  is a divalent amino acid moiety with  $R_2$  being a covalent bond or having from 1 to 8 carbon atoms, and  $y$  and  $z$  are between 0 and 10, inclusive, provided that  $y$  and  $z$  are not both 0;

wherein  $\text{---} \overset{\text{O}}{\parallel} \text{C} \text{---} R_3 \text{---} \overset{\text{O}}{\parallel} \text{C} \text{---}$  is a divalent dicarboxylic acid moiety in which  $R_3$  is an alkylene or cycloalkylene group containing from 1 to about 15 carbon atoms, substituted with a total of from 1 to about 10 hydroxyl groups, with at least a portion of the hydroxyl groups being acylated with from 3 to about 24 carbon atom carboxylic acids; and wherein  $R_4$  is a poly (alkylene oxide) having the structure:



with  $R_5$  being selected from the group consisting of 1 to 40 carbon atom alkyl groups, -OH, -OR<sub>7</sub>, -NH<sub>2</sub>, -NHR<sub>7</sub>, -NHR<sub>7</sub>R<sub>8</sub>, -C-OH, -C-OR<sub>7</sub>, -C-O-C-R<sub>7</sub>, -C-NH<sub>2</sub>, -C-NHR<sub>7</sub> and -C-NR<sub>7</sub>R<sub>8</sub>;  $R_6$ ,  $R_7$  AND  $R_8$  being independently selected from the group consisting of 2 to 40 carbon atom, straight-chain or branched alkylene groups;  $Q$  being a divalent linkage moiety; and  $a$  being between 2 and 110, inclusive.

28. The method of claim 27, wherein in the polyol acyl comprises a fatty acid(s).

29. The method of claim 27, wherein the LDL is sequestered and/or removed by *in vitro*, *ex vivo*, or *in vivo* administration of the compound.

30. A method for inhibiting atherosclerosis or atherosclerotic development, comprising the method of claim 27, which is conducted by contacting or administering an anti-atherosclerosis or anti-atherosclerotic development amount of the compound.

31. The method of claim 27, wherein x is a 3 or 4.

32. The method of claim 31, wherein the compound has the structure  $R(-NH-R_1)_x$ , wherein  $R(-NH-)$  is a polyamine moiety.

33. The method of claim 31, wherein the compound has the structure  $R(-O-R_1)_x$ , wherein  $R(-O-)$  is a polyoyl moiety.

34. The method of claim 33, wherein said polyoyl moiety is an aromatic polyoyl moiety.

35. The method of claim 34, wherein said polyoyl moiety is a 1, 1, 1-tris(hydroxyphenyl) ethane moiety.

36. The method of claim 27, wherein every hydroxyl group said divalent dicarboxylic acid moiety is acylated with a 6 to 24 carbon atom carboxylic acid group.

37. The method of claim 36, wherein y is 0.

38. The method of claim 33, wherein said divalent dicarboxylic acid moiety is a mucic acid moiety.

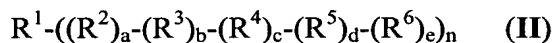
39. The method of claim 33 wherein said polyoyl moiety is an aliphatic or cycloaliphatic polyoyl moiety.

40. The method of claim 33, wherein said polyoyl moiety is a cyclic crown ether or cyclodextrin moiety.

41. The method of claim 27, wherein poly (alkylene oxide) is a methoxy-terminated poly (ethylene glycol) and Q is -NH-.

42. The method of claim 27, wherein Q is -O-, or forms an anhydride linkage.

43. A method for sequestering and/or removing LDL comprising contacting a medium comprising LDL with a sequestering and/or removing effective amount of a compound of formula (II):



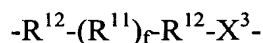
wherein  $R^1$  is a core comprising a polyol or polyacid;

each  $R^2$  independently is a divalent or polyvalent group having the formula  $-X^1-R^8-(X^{1a})_g-$ , wherein  $X^1$  and  $X^{1a}$  are independently  $-C(=O)-$ ,  $-C(=S)-$ ,  $-O-$ ,  $-S-$ ,  $-N(R^7)-$  or absent, and each  $R^8$  is independently  $-(C_{1-8})$ alkylene-, branched  $-(C_{1-8})$ alkylene- or  $-(C_{6-10})$ aryl-; a is 0 or an integer from 1 to about 10; and g is an integer from 1 to about 6;

each  $R^3$  independently is a divalent dicarboxylic acid moiety having the formula  $-C(=O)-R^9-C(=O)-$ , wherein  $R^9$  is an alkylene or cycloalkylene group containing from 1 to about 15 carbon atoms, substituted with a total of from 1 to about 10 hydroxy groups, wherein one or more of the hydroxy groups of the dicarboxylic acid are acylated with an acid residue; and b is an integer from 1 to about 10;

each  $R^4$  independently is a divalent or polyvalent group having the formula  $-X^2-R^{10}-(X^{2a})_h-$ , wherein  $X^2$  is  $-C(=O)-$ ,  $-C(=S)-$ ,  $-O-$ ,  $-S-$ ,  $-N(R^7)-$  or absent;  $X^{2a}$  is  $-C(=O)-$ ,  $-C(=S)-$ ,  $-O-$ ,  $-S-$ , or  $-N(R^7)-$  and  $R^{10}$  is  $-(C_{1-8})$ alkylene-, branched  $-(C_{1-8})$ alkylene- or  $-(C_{6-10})$ aryl-; and c is 0 or an integer from 1 to about 10; and h is an integer from 1 to 6;

each  $R^5$  independently is a group having the formula:



wherein  $R^{11}$  is a sugar moiety; or a poly(alkylene oxide) or poly(alkylene imine) group having the formula  $-(X^4-R^{13})-$ ; wherein  $R^{13}$  is  $-(C_{2-40})$ alkylene- or branched  $-(C_{3-40})$ alkylene-; wherein each  $X^3$  is independently  $-C(=O)-$ ,  $-C(=S)-$ ,  $-O-$ ,

-S-, -N(R<sup>7</sup>)- or absent; each X<sup>4</sup> is independently -O-, or -N(R<sup>7</sup>)-; and f is an integer from about 2 to about 150; and d is from 1 to about 6;

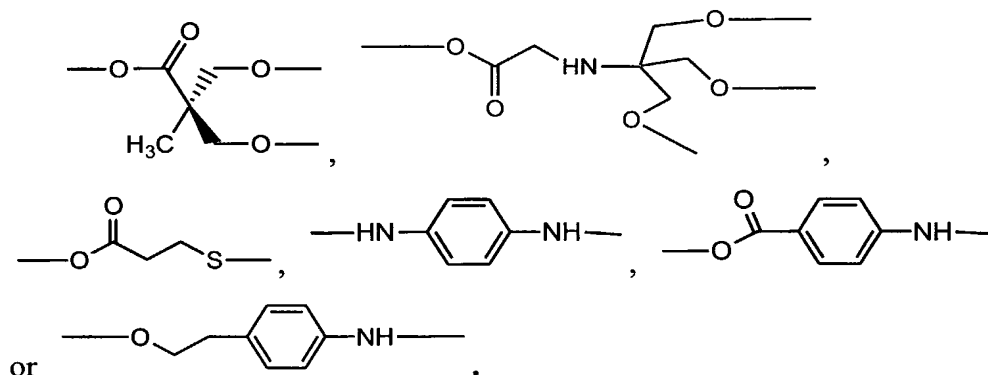
each R<sup>12</sup> is independently a bond, -(C<sub>1-40</sub>)alkylene- or branched -(C<sub>1-40</sub>)alkylene- groups, wherein each R<sup>12</sup> is optionally substituted with one or more (e.g., 1, 2, or 3) functional group; and X<sup>4</sup> is -O-, -S-, or -N(R<sup>7</sup>)-.

44. The method of claim 43, wherein in the polyol acyl comprises a fatty acid(s).

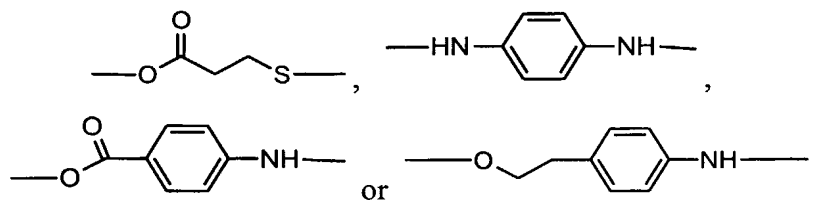
45. The method of claim 43, wherein the LDL is sequestered and/or removed by *in vitro*, *ex vivo*, or *in vivo* administration of the compound.

46. A method for inhibiting atherosclerosis or atherosclerotic development, comprising the method of claim 43, which is conducted by contacting or administering an anti-atherosclerosis or anti-atherosclerotic development amount of the compound.

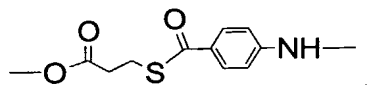
47. The method of any one of claims 43-46, wherein R<sup>2</sup> has the formula:



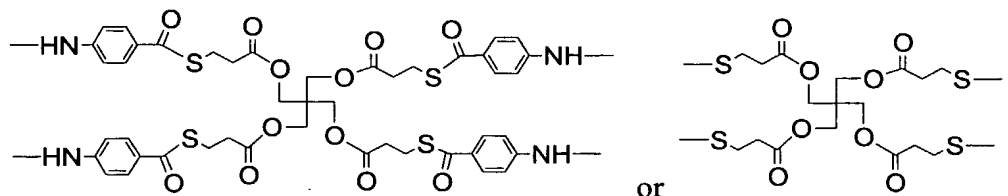
48. The method of any one of claims 43-47, wherein R<sup>2</sup> has the formula:



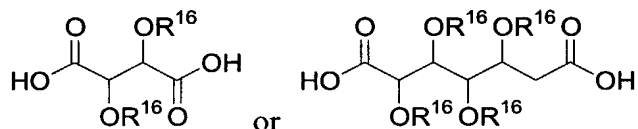
49. The method of any one of claims 43-48, wherein R<sup>2</sup> has the formula:



50. The method of any one of claims 43-49, wherein the  $R^1$ - $R^2$  combination has the formula:

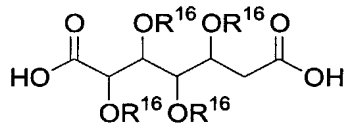


51. The method of any one of claims 43-50, wherein  $R^3$  has the formula



wherein each  $R^{16}$  is an alkanoyl group having from 2 to about 24 carbon atoms.

52. The method of any one of claims 43-51, wherein  $R^3$  is



53. The method of any one of claims 43-52, wherein  $R^{16}$  is an alkanoyl group having from about 6 to about 18 carbon atoms.

54. The method of any one of claims 43-53, wherein  $R^1$  has from about 2 carbons to about 20 carbons.

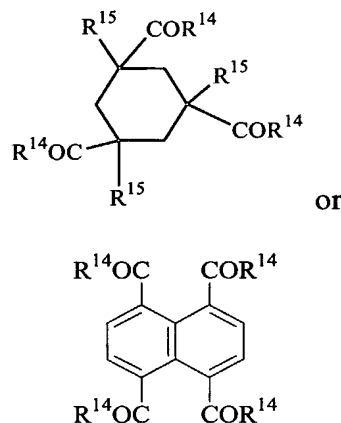
55. The method of any one of claims 43-54, wherein  $R^1$  has from about 3 carbons to about 12 carbons.



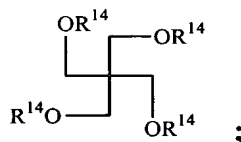
56. The method of any one of claims 43-55, wherein the  $R^1$  moiety has from about 4 carbons to about 10 carbons.

57. The method of any one of claims 43-45 or 47-56, wherein  $R^1$  is a cycloaliphatic polyol.

58. The method of any one of claims 43-57, wherein  $R^1$  is a polyacid having the formula



or a polyol having the formula



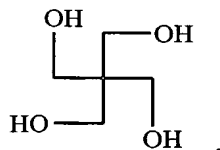
wherein each  $R^{14}$  is  $-(R^2)_a-(R^3)_b-(R^4)_c-(R^5)_d-(R^6)_e$ ; and wherein  $R^{15}$  is hydrogen or  $(C_{1-6})$ alkyl; and  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $a$ ,  $b$ ,  $c$ , and  $d$ , are as defined hereinabove.

59. The method of claim 58, where  $R^{15}$  is alkyl.

60. The method of claim 59, where  $R^{15}$  is methyl, ethyl, or propyl.

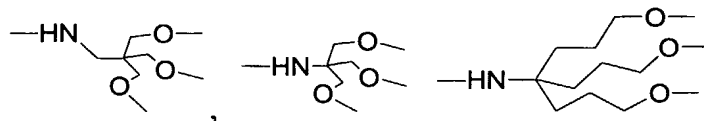
61. The method of claim 19, where  $R^{15}$  is methyl, or propyl.

62. The method of any one of claims 43-58, wherein  $R^1$  comprises a core having the formula:

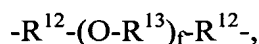


63. The method of any one of claims 43-62, wherein  $R^2$  is –  
 $C(=O)-CH_2-CH_2-S-$ .
64. The method of any one of claims 43-58 or 62-63, wherein the  $R^1-R^2$   
 combination is pentaerythritol tetrakis(3-mercaptopropionate).
65. The method of any of claims 43-58 or 62-63, wherein the  $R^1$  moiety  
 comprises from about 2 to about 20 hydroxy groups.
66. The method of any one of claims 43-58 or 62-65, wherein the  $R^1$   
 moiety comprises from about 2 to about 12 hydroxy groups.
67. The method of any one of claims 43-58 or 62-66, wherein the  $R^1$   
 moiety comprises from about 2 to about 10 hydroxy groups.
68. The method of any one of claims 43-67, wherein the  $R^1$  moiety is  
 substituted with one or more carboxy groups.
69. The method of any of claims 43-68, wherein the  $R^1$  moiety is  
 substituted with two carboxy groups.
70. The method of any one of claims 43-69, wherein the  $R^1$  moiety is  
 substituted with one carboxy group.

71. The method of any one of claims 43-70, wherein  $R^4$  has the formula:



72. The method of any one of claims 43-71, wherein  $R^5$  has the formula:



wherein  $R^{13}$  is a 1 to 20 carbon straight-chain or branched alkyl group,

wherein each  $R^{12}$  is optionally substituted with one or more functional groups selected from the group consisting of  $-\text{OH}$ ,  $-\text{OR}^a$ ,  $-\text{NR}^a\text{R}^b$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{SO}_3\text{H}$ ,  $-\text{CH}_2-\text{OR}^a$ ,  $-\text{CH}_2-\text{O}-\text{CH}_2-\text{R}^a$ ,  $-\text{CH}_2\text{CO}_2\text{H}$ ,  $-\text{CH}_2\text{SO}_3\text{H}$ ,  $-\text{O}-\text{C}(=\text{O})-\text{CH}_2-\text{CH}_2-\text{C}(=\text{O})-\text{O}-$  or  $-\text{CH}_2-\text{NR}^a\text{R}^b$ ;

Q is  $-\text{O}-$ ,  $-\text{S}-$ , and  $-\text{NR}^a-$ ; and

$R^{12}$  is a 1 to 10 carbon straight-chain or branched divalent alkylene group;

$R^a$  and  $R^b$  are each independently hydrogen ( $\text{C}_{1-6}$ )alkyl, aryl, aryl( $\text{C}_{1-8}$ )alkylene

f is an integer from 2 to 150, inclusive.

73. The method of any one of claims 43-72, wherein the  $R^5$  is a polyethylene ether having between about 2 and about 110 alkylene oxide repeating units.

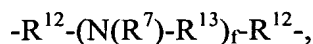
74. The method of any one of claims 43-73, wherein the alkylene oxide units containing from 2 to about 10 carbon atoms and may be straight chained or branched.

75. The method of any one of claims 43-73, wherein the alkylene oxide units contain from 2 to 4 carbon atoms and may be straight chained or branched.

76. The method of any one of claims 43-73 wherein  $R^5$  is linked to  $R^1$  through an ester, thioester, or amide linkage.

77. The method of any one of claims 43-73 wherein  $R^5$  is linked to  $R^1$  through an ester or amide linkage.

78. The method of any one of claims 43-71, wherein  $R^5$  has the formula:



wherein each  $R^{12}$  and  $R^{13}$  are independently a 1 to 20 carbon straight-chain or branched alkyl group,

wherein each  $R^{12}$  is optionally substituted with one or more functional groups selected from the group consisting of  $-\text{OH}$ ,  $-\text{OR}^a$ ,  $-\text{NR}^a\text{R}^b$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{SO}_3\text{H}$ ,  $-\text{CH}_2-\text{OR}^a$ ,  $-\text{CH}_2-\text{O}-\text{CH}_2-\text{R}^a$ ,  $-\text{CH}_2\text{CO}_2\text{H}$ ,  $-\text{CH}_2\text{SO}_3\text{H}$ ,  $-\text{O}-\text{C}(=\text{O})-\text{CH}_2-\text{CH}_2-\text{C}(=\text{O})-\text{O}-$  or  $-\text{CH}_2-\text{NR}^a\text{R}^b$ ;

Q is -O-, -S-, and -NR<sup>a</sup>- ; and

R<sup>12</sup> is a 1 to 10 carbon straight-chain or branched divalent alkylene group;

R<sup>a</sup> and R<sup>b</sup> are each independently hydrogen (C<sub>1-6</sub>)alkyl, aryl, aryl(C<sub>1-8</sub>)alkylene

f is an integer from 2 to 150, inclusive.

79. The method of any one of claims 43-71 or 78, wherein R<sup>5</sup> is a polyethylene imine having between about 2 and about 110 repeating units.

80. The method of any one of claims 43-71 or 78-79, wherein the polyethylene imine has units contain from 2 to about 10 carbon atoms.

81. The method of any one of claims 43-80, wherein R<sup>6</sup> is alkyl, aryl, biotin, streptavidin, sugar moieties, folic acid, amino acids or peptides.

82. The method of any one of claims 43-81, wherein is the peptide Arg-Gly-Asp (R-G-D) or Tyr-Ile-Gly-Ser-Arg (Y-I-G-S-R).

83. The method of any one of claims 43-82, wherein R<sup>6</sup> is biotin

84. The method of any one of claims 43-83, wherein the acid residue comprises from about 2 to about 24 carbon atoms.

85. The method of any one of claims 43-84, wherein the acid residue comprises from about 6 to about 18 carbon atoms.

86. The method of claim 43 wherein the acid residue comprises caprylic, capric, lauric, myristic, myristoleic, palmitic, palmitoleic, stearic, oleic, linoleic, eleostearic, arachidic, behenic, erucic acid, or a mixture thereof.

87. The method of any of claims 43-86, wherein the functional groups are -OH, -ORa, -NRaRb, -CO<sub>2</sub>H, -SO<sub>3</sub>H (sulfo), -CH<sub>2</sub>-OH, -CH<sub>2</sub>-ORa, -CH<sub>2</sub>-O-CH<sub>2</sub>-Ra, or -CH<sub>2</sub>-NRaRb.

88. A method for treating a disease associated with pathological cells in the body of an animal, comprising administering to the animal a therapeutic agent that is associated with an amphiphilic macromolecule that targets the agent to the cells.

89. The method of claim 88, wherein the disease is cancer.
90. The method of claim 89, wherein the cancer is a tumor.
91. The method of claim 88, wherein the disease is inflammation.
92. The method of claim 88, wherein the pathological cells are cancer cells, tumor cells, or cells related to the inflammatory process.
93. The method of any of claims 88-91, wherein the therapeutic agent is an anti-cancer compound.
94. The method of claim 93, wherein the anti-cancer compound is 6-azauridine, 6-diazo-5-oxo-L-norleucine, 6-mercaptopurine, aclacinomycin(s), ancitabine, anthramycin, azacitadine, azaserine, bleomycin(s), capecitabine, carubicin, carzinophillin A, chlorozotocin, chromomycin(s), cladribine, cytarabine, daunorubicin, denopterin, docetaxel, doxifluridine, doxorubicin, edatrexate, eflornithine, elliptinium, enocitabine, epirubicin, etoposide, floxuridine, fludarabine, gemcitabine, idarubicin, mannomustine, melphalan, menogaril, methotrexate, mitobronitol, mitolactol, mitomycin C, mitoxantrone, mopidamol, mycophenolic acid, nogalamycin, olivomycin(s), paclitaxel, pentostatin, peplomycin, pirarubicin, piritrexim, plicamycin, podophyllinic acid 2-ethylhydrazine, prednimustine, procarbazine, pteropterin, puromycin, ranimustine, streptonigrin, streptozocin, teniposide, thiamiprine, thioguanine, Tomudex® (N-[[5-[(1,4-Dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]methylamino]-2-thienyl]carbonyl]-L-glutamic acid), toptecan, trimetrexate, tubercidin, ubenimex, vinblastine, vindesine, vinorelbine, or zorubicin.
95. The method of claim 93, wherein the anti-cancer agent is 6-diazo-5-oxo-L-norleucine, azaserine, carzinophillin A, denopterin, edatrexate, eflornithine, melphalan, methotrexate, mycophenolic acid, podophyllinic acid 2-ethylhydrazide, pteropterin, streptonigrin, Tomudex® (N-((5-(((1,4-Dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl)methylamino)-2-thienyl)carbonyl)-L-glutamic acid), or ubenimex.

96. The method of claim 93, wherein the anti-cancer agent is doxorubicin.
97. The method of claim 94, wherein the therapeutic agent is an anti-inflammatory compound.
98. The method of claim 97, wherein the anti-inflammatory compound is 3-amino-4-hydroxybutyric acid, aceclofenac, alminoprofen, bromfenac, bumadizon, carprofen, diclofenac, diflunisal, enfenamic acid, etodolac, fendosal, flufenamic acid, gentisic acid, meclofenamic acid, mefenamic acid, mesalamine, niflumic acid, olsalazine oxaceprol, S-adenosylmethionine, salicylic acid, salsalate, sulfasalazine, or tolafenamic acid.
99. The method of claim 88, wherein the animal is a mammal.
100. The method of claim 99, wherein the mammal is a human.
101. The method of claim 88, wherein the therapeutic agent is physically entrapped by the amphiphilic macromolecule or a plurality thereof.
102. The method of claim 88, wherein the therapeutic agent is electrostatically bonded to the amphiphilic macromolecule or a plurality thereof.
103. The method of claim 88, wherein the therapeutic agent is linked to the amphiphilic macromolecule or a plurality thereof.
104. The method of claim 103, wherein the therapeutic agent is linked to the amphiphilic macromolecule through an ether, ester, amide, thioamide, thioester, anhydride, urea, or carbonate group.
105. The method of claim 104, wherein the therapeutic agent is linked to the amphiphilic macromolecule through a linker.

106. The method of claim 105, wherein the linker comprises from about one to about 20 carbon atoms.

107. The method of claim 105, wherein the linker is linked to amphiphilic macromolecule through an ether, ester, amide, thioamide, thioester, anhydride, urea, or carbonate group.

108. The method of any of claims 105-107, wherein the linker is linked to the therapeutic agent through an ether, ester, amide, thioamide, thioester, anhydride, urea, or carbonate group.

109. The method of claim 88, wherein the amphiphilic macromolecule has a diameter of less than 250 nm.

110. The method of 109, wherein the amphiphilic macromolecule has a diameter of less than 150 nm.

111. The method of any of claims 109-110, wherein the amphiphilic macromolecule has a diameter of less than 100 nm.

112. The method of any of claims 109-111, wherein the amphiphilic macromolecule has a diameter of less than 50 nm.

113. The method of any of claims 109-112, wherein the amphiphilic macromolecule has a diameter of greater than 5 nm.

114. The method of any of claims 109-113, wherein the amphiphilic macromolecule has a diameter of greater than 10 nm.

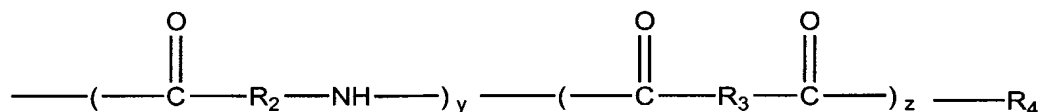
115. The method of any of claims 109-114, wherein the amphiphilic macromolecule has a diameter of greater than 15 nm.

116. The method of any of claims 109-115, wherein the amphiphilic macromolecule has a diameter of greater than 20 nm.

117. A method of any of claims 88-116, wherein the amphiphilic macromolecule is a compound of

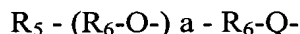


wherein  $R(-O-)_x$  is a polyol moiety and  $R(-NH)_x$  is a polyamine moiety, with  $x$  being between 2 and 10, inclusive, and each  $R_1$  independently has the structure:



wherein  $\text{---} \overset{\text{O}}{\parallel} \text{C} \text{---} R_2 \text{---} \text{NH} \text{---}$  is a divalent amino acid moiety with  $R_2$  being a covalent bond or having from 1 to 8 carbon atoms, and  $y$  and  $z$  are between 0 and 10, inclusive, provided that  $y$  and  $z$  are not both 0;

wherein  $\text{---} \overset{\text{O}}{\parallel} \text{C} \text{---} R_3 \text{---} \overset{\text{O}}{\parallel} \text{C} \text{---}$  is a divalent dicarboxylic acid moiety in which  $R_3$  is an alkylene or cycloalkylene group containing from 1 to about 15 carbon atoms, substituted with a total of from 1 to about 10 hydroxyl groups, with at least a portion of the hydroxyl groups being acylated with from 3 to about 24 carbon atom carboxylic acids; and wherein  $R_4$  is a poly (alkylene oxide) having the structure:



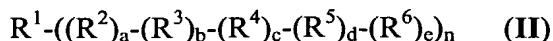
with  $R_5$  being selected from the group consisting of 1 to 40 carbon atom alkyl groups, -OH, -OR<sub>7</sub>, -NH<sub>2</sub>, -NHR<sub>7</sub>, -NHR<sub>7</sub>R<sub>8</sub>, -C-OH, -C-OR<sub>7</sub>, -C-O-C-R<sub>7</sub>, -C-NH<sub>2</sub>, -C-NHR<sub>7</sub> and -C-NR<sub>7</sub>R<sub>8</sub>;  $R_6$ ,  $R_7$  AND  $R_8$  being independently selected from the group consisting of 2 to 40 carbon atom, straight-chain or branched alkylene groups; Q being a divalent linkage moiety; and  $a$  being between 2 and 110, inclusive.

118. The method of claim 117, wherein in the polyol acyl comprises a fatty acid(s).



119. The method of claim 117, wherein x is a 3 or 4.
120. The method of claim 117, wherein the compound has the structure  $R(-NH-R_1)_x$ , wherein  $R(-NH-)$  is a polyamine moiety.
121. The method of claim 117, wherein the compound has the structure  $R(-O-R_1)_x$ , wherein  $R(-O-)_x$  is a polyoyl moiety.
122. The method of claim 117, wherein said polyoyl moiety is an aromatic polyoyl moiety.
123. The method of claim 122, wherein said polyoyl moiety is a 1, 1, 1-tris (hydroxyphenyl) ethane moiety.
124. The method of claim 117, wherein every hydroxyl group said divalent dicarboxylic acid moiety is acylated with a 6 to 24 carbon atom carboxylic acid group.
125. The method of claim 117, wherein y is 0.
126. The method of claim 117, wherein said divalent dicarboxylic acid moiety is a mucic acid moiety.
127. The method of claim 117, wherein said polyoyl moiety is an aliphatic or cycloaliphatic polyoyl moiety.
128. The method of claim 120, wherein said polyoyl moiety is a cyclic crown ether or cyclodextrin moiety.
129. The method of claim 114, wherein poly (alkylene oxide) is a methoxy-terminated poly (ethylene glycol) and Q is -NH-.
130. The method of claim 114, wherein Q is -O-, or forms an anhydride linkage.

131. A method for any of claims 88-116, wherein the amphiphilic macromolecule is a compound of formula (II):



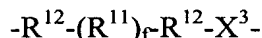
wherein  $R^1$  is a core comprising a polyol or polyacid;

each  $R^2$  independently is a divalent or polyvalent group having the formula  $-X^1-R^8-(X^{1a})_g-$ , wherein  $X^1$  and  $X^{1a}$  are independently  $-C(=O)-$ ,  $-C(=S)-$ ,  $-O-$ ,  $-S-$ ,  $-N(R^7)-$  or absent, and each  $R^8$  is independently  $-(C_{1-8})$ alkylene-, branched  $-(C_{1-8})$ alkylene- or  $-(C_{6-10})$ aryl-;  $a$  is 0 or an integer from 1 to about 10; and  $g$  is an integer from 1 to about 6;

each  $R^3$  independently is a divalent dicarboxylic acid moiety having the formula  $-C(=O)-R^9-C(=O)-$ , wherein  $R^9$  is an alkylene or cycloalkylene group containing from 1 to about 15 carbon atoms, substituted with a total of from 1 to about 10 hydroxy groups, wherein one or more of the hydroxy groups of the dicarboxylic acid are acylated with an acid residue; and  $b$  is an integer from 1 to about 10;

each  $R^4$  independently is a divalent or polyvalent group having the formula  $-X^2-R^{10}-(X^{2a})_h-$ , wherein  $X^2$  is  $-C(=O)-$ ,  $-C(=S)-$ ,  $-O-$ ,  $-S-$ ,  $-N(R^7)-$  or absent;  $X^{2a}$  is  $-C(=O)-$ ,  $-C(=S)-$ ,  $-O-$ ,  $-S-$ , or  $-N(R^7)-$  and  $R^{10}$  is  $-(C_{1-8})$ alkylene-, branched  $-(C_{1-8})$ alkylene- or  $-(C_{6-10})$ aryl-; and  $c$  is 0 or an integer from 1 to about 10; and  $h$  is an integer from 1 to 6;

each  $R^5$  independently is a group having the formula:

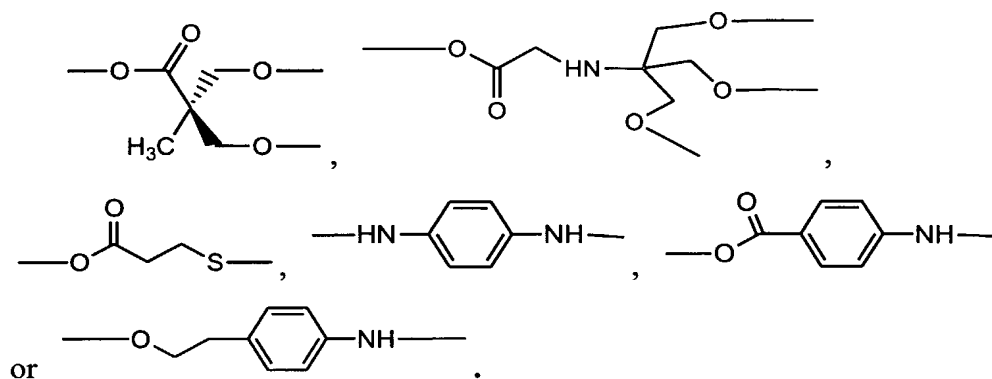


wherein  $R^{11}$  is a sugar moiety; or a poly(alkylene oxide) or poly(alkylene imine) group having the formula  $-(X^4-R^{13})_-$ ; wherein  $R^{13}$  is  $-(C_{2-40})$ alkylene- or branched  $-(C_{3-40})$ alkylene-; wherein each  $X^3$  is independently  $-C(=O)-$ ,  $-C(=S)-$ ,  $-O-$ ,  $-S-$ ,  $-N(R^7)-$  or absent; each  $X^4$  is independently  $-O-$ , or  $-N(R^7)-$ ; and  $f$  is an integer from about 2 to about 150; and  $d$  is from 1 to about 6;

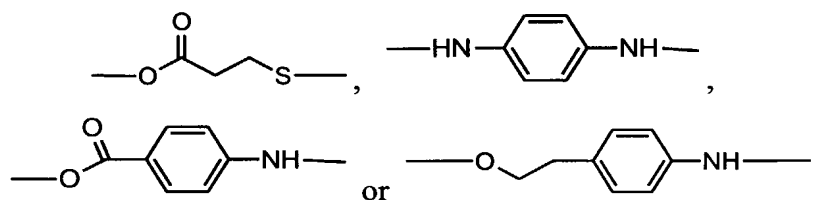
each  $R^{12}$  is independently a bond,  $-(C_{1-40})$ alkylene- or branched  $-(C_{1-40})$ alkylene- groups, wherein each  $R^{12}$  is optionally substituted with one or more (e.g., 1, 2, or 3) functional group; and  $X^4$  is  $-O-$ ,  $-S-$ , or  $-N(R^7)-$ .

132. The method of claim 131, wherein in the polyol acyl comprises a fatty acid(s).

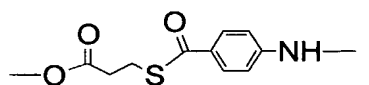
133. The method of any one of claims 131-132, wherein  $R^2$  has the formula:



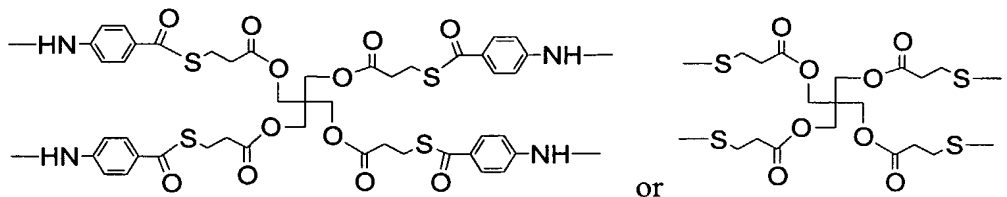
134. The method of any one of claims 131-133, wherein  $R^2$  has the formula:



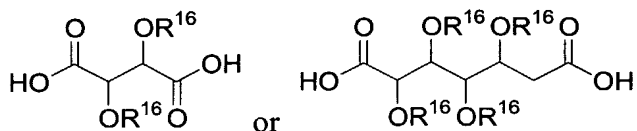
135. The method of any one of claims 131-133, wherein  $R^2$  has the formula:



136. The method of claim 131, wherein the  $R^1-R^2$  combination has the formula:

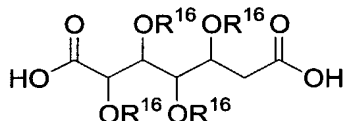


137. The method of any one of claims 131-136, wherein  $R^3$  has the formula



wherein each  $R^{16}$  is an alkanoyl group having from 2 to about 24 carbon atoms.

138. The method of any one of claims 131-136, wherein  $R^3$  is



139. The method of any one of claims 131-138, wherein  $R^{16}$  is an alkanoyl group having from about 6 to about 18 carbon atoms.

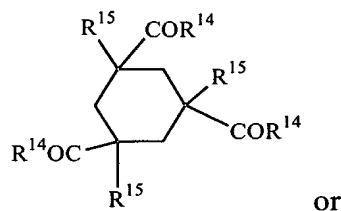
140. The method of any one of claims 131-139, wherein  $R^1$  has from about 2 carbons to about 20 carbons.

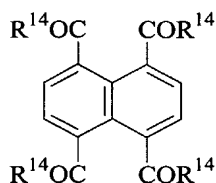
141. The method of any one of claims 131-139, wherein  $R^1$  has from about 3 carbons to about 12 carbons.

142. The method of any one of claims 131-139, wherein the  $R^1$  moiety has from about 4 carbons to about 10 carbons.

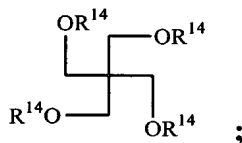
143. The method of any one of claims 131-139, wherein  $R^1$  is a cycloaliphatic polyol.

144. The method of any one of claims 131-139, wherein  $R^1$  is a polyacid having the formula



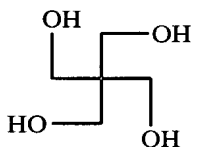


or a polyol having the formula



wherein each  $R^{14}$  is  $-(R^2)_a-(R^3)_b-(R^4)_c-(R^5)_d-(R^6)_e$ ; and wherein  $R^{15}$  is hydrogen or  $(C_{1-6})$ alkyl; and  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $a$ ,  $b$ ,  $c$ , and  $d$ , are as defined hereinabove.

145. The method of claim 144, where  $R^{15}$  is alkyl.
146. The method of claim 145, where  $R^{15}$  is methyl, ethyl, or propyl.
147. The method of claim 146, where  $R^{15}$  is methyl, or propyl.
148. The method of claim 131, wherein  $R^1$  comprises a core having the formula:



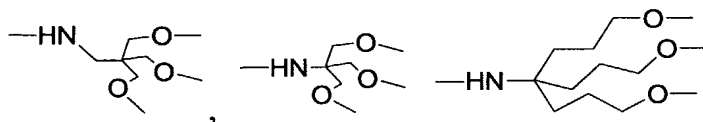
149. The method of claim 131, wherein  $R^2$  is  $-C(=O)-CH_2-CH_2-S-$ .
150. The method of claim 131, wherein the  $R^1-R^2$  combination is pentaerythritol tetrakis(3-mercaptopropionate).
151. The method of claim 131, wherein the  $R^1$  moiety comprises from about 2 to about 20 hydroxy groups.
152. The method of claim 131, wherein the  $R^1$  moiety comprises from about 2 to about 12 hydroxy groups.
153. The method of claim 131, wherein the  $R^1$  moiety comprises from about 2 to about 10 hydroxy groups.

154. The method of claim 131, wherein the R<sup>1</sup> moiety is substituted with one or more carboxy groups.

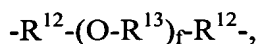
155. The method of claim 131, wherein the R<sup>1</sup> moiety is substituted with two carboxy groups.

156. The method of claim 131, wherein the R<sup>1</sup> moiety is substituted with one carboxy group.

157. The method of claim 131, wherein R<sup>4</sup> has the formula:



158. The method of claim 131, wherein R<sup>5</sup> has the formula:



wherein R<sup>13</sup> is a 1 to 20 carbon straight-chain or branched alkyl group,

wherein each R<sup>12</sup> is optionally substituted with one or more functional groups selected from the group consisting of -OH, -OR<sup>a</sup>, -NR<sup>a</sup>R<sup>b</sup>, -CO<sub>2</sub>H, -SO<sub>3</sub>H, -CH<sub>2</sub>-OR<sup>a</sup>, -CH<sub>2</sub>-O-CH<sub>2</sub>-R<sup>a</sup>, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>SO<sub>3</sub>H, -O-C(=O)-CH<sub>2</sub>-CH<sub>2</sub>-C(=O)-O- or -CH<sub>2</sub>-NR<sup>a</sup>R<sup>b</sup>;

Q is -O-, -S-, and -NR<sup>a</sup>- ; and

R<sup>12</sup> is a 1 to 10 carbon straight-chain or branched divalent alkylene group;

R<sup>a</sup> and R<sup>b</sup> are each independently hydrogen (C<sub>1-6</sub>)alkyl, aryl, aryl(C<sub>1-8</sub>)alkylene

f is an integer from 2 to 150, inclusive.

159. The method of claim 131, wherein the R<sup>5</sup> is a polyethylene ether having between about 2 and about 110 alkylene oxide repeating units.

160. The method of claim 131, wherein the alkylene oxide units containing from 2 to about 10 carbon atoms and may be straight chained or branched.

161. The method of claim 131, wherein the alkylene oxide units contain from 2 to 4 carbon atoms and may be straight chained or branched.

162. The method of claim 131, wherein R<sup>5</sup> is linked to R<sup>1</sup> through an ester, thioester, or amide linkage.
163. The method of claim 131, wherein R<sup>5</sup> is linked to R<sup>1</sup> through an ester or amide linkage.
164. The method of claim 131, wherein R<sup>5</sup> has the formula:
- $$-R^{12}-(N(R^7)-R^{13})_f-R^{12}-,$$
- wherein each R<sup>12</sup> and R<sup>13</sup> are independently a 1 to 20 carbon straight-chain or branched alkyl group,
- wherein each R<sup>12</sup> is optionally substituted with one or more functional groups selected from the group consisting of -OH, -OR<sup>a</sup>, -NR<sup>a</sup>R<sup>b</sup>, -CO<sub>2</sub>H, -SO<sub>3</sub>H, -CH<sub>2</sub>-OR<sup>a</sup>, -CH<sub>2</sub>-O-CH<sub>2</sub>-R<sup>a</sup>, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>SO<sub>3</sub>H, -O-C(=O)-CH<sub>2</sub>-CH<sub>2</sub>-C(=O)-O- or -CH<sub>2</sub>-NR<sup>a</sup>R<sup>b</sup>;
- Q is -O-, -S-, and -NR<sup>a</sup>- ; and
- R<sup>12</sup> is a 1 to 10 carbon straight-chain or branched divalent alkylene group;
- R<sup>a</sup> and R<sup>b</sup> are each independently hydrogen (C<sub>1-6</sub>)alkyl, aryl, aryl(C<sub>1-8</sub>)alkylene
- f is an integer from 2 to 150, inclusive.
165. The method of claim 131, wherein R<sup>5</sup> is a polyethylene imine having between about 2 and about 110 repeating units.
166. The method of claim 131, wherein the polyethylene imine has units contain from 2 to about 10 carbon atoms.
167. The method of claim 131, wherein R<sup>6</sup> is alkyl, aryl, biotin, streptavidin, sugar moieties, folic acid, amino acids or peptides.
168. The method of claim 131, wherein is the peptide Arg-Gly-Asp (R-G-D) or Tyr-Ile-Gly-Ser-Arg (Y-I-G-S-R).
169. The method of claim 131, wherein R<sup>6</sup> is biotin
170. The method of claim 131, wherein the acid residue comprises from about 2 to about 24 carbon atoms.

171. The method of claim 131, wherein the acid residue comprises from about 6 to about 18 carbon atoms.
172. The method of claim 131, wherein the acid residue comprises caprylic, capric, lauric, myristic, myristoleic, palmitic, palmitoleic, stearic, oleic, linoleic, eleostearic, arachidic, behenic, erucic acid, or a mixture thereof.
173. The method of claim 131, wherein the functional groups are  $-\text{OH}$ ,  $-\text{ORa}$ ,  $-\text{NRaRb}$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{SO}_3\text{H}$  (sulfo),  $-\text{CH}_2-\text{OH}$ ,  $-\text{CH}_2-\text{ORa}$ ,  $-\text{CH}_2-\text{O}-\text{CH}_2-\text{Ra}$ , or  $-\text{CH}_2-\text{NRaRb}$ .
174. A method of any of claims 88-116, wherein the amphiphilic macromolecule is a compound of chemical formula (I)
- $$\text{A-X-Y-Z-R}_1 \quad (\text{I})$$
- wherein A comprises a carboxy group or is absent;  
X comprises a polyol, wherein one or more polyol hydroxyls are substituted by acyl;  
Y comprises  $-\text{C}(=\text{O})-$ ,  $-\text{C}(=\text{S})-$ , or is absent;  
Z comprises O, S or NH; and  
 $\text{R}_1$  comprises a polyether.
175. The method of claim 174, wherein in the polyol acyl comprises a fatty acid(s).
176. The method of claim 174, wherein the polyol comprises a  $(\text{C}_2-\text{C}_{20})$  alkyl polyol.
177. The method of claim 174, wherein the polyol comprises about 2 to about 20 hydroxyl groups.
178. The method of claim 174, wherein the polyol comprises a mono- or dicarboxylic  $(\text{C}_2-\text{C}_{20})$  alkyl polyol substituted with about 1 to about 10 hydroxyl(s).



179. The method of claim 174, wherein the polyol comprises one or more of mucic acid, malic acid, citromalic acid, alkylmalic acid, hydroxy glutaric acid derivatives, alkyl glutaric acids, tartaric acid, or citric acid.

180. The method of claim 174, wherein the polyol comprises one or more of 2,2-(bis(hydroxymethyl)propionic acid, tricine, or a saccharide.

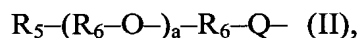
181. The method of claim 174, wherein the polyether comprises about 2 to about 150 alkylene oxide units.

182. The method of claim 174, wherein each alkylene oxide unit comprises straight or branched (C<sub>2</sub>-C<sub>4</sub>) alkylene oxide.

183. The method of claim 174, wherein the polyether comprises an alkoxy-terminal group.

184. The method of any one of claims 174-183, wherein the polyether is linked to the polyol through a linker comprising ester, thioester, or amide.

185. The method of claim 174, wherein the polyether comprises the chemical formula



wherein

R<sub>5</sub> comprises straight or branched (C<sub>1</sub>-C<sub>20</sub>) alkyl, -OH, -OR<sub>7</sub>, -NH<sub>2</sub>, -NHR<sub>7</sub>, -NHR<sub>7</sub>R<sub>8</sub>, -CO<sub>2</sub>H, -SO<sub>3</sub>H (sulfo), -CH<sub>2</sub>-OH, -CH<sub>2</sub>-OR<sub>7</sub>, -CH<sub>2</sub>-O-CH<sub>2</sub>-R<sub>7</sub>, -CH<sub>2</sub>-NH<sub>2</sub>, -CH<sub>2</sub>-NHR<sub>7</sub>, -CH<sub>2</sub>-NR<sub>7</sub>R<sub>8</sub>, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>SO<sub>3</sub>H, or -O-C(=O)-CH<sub>2</sub>-CH<sub>2</sub>-C(=O)-O-;

R<sub>6</sub> comprises straight or branched divalent (C<sub>2</sub>-C<sub>10</sub>) alkylene;

each R<sub>7</sub> and R<sub>8</sub> comprises, independently, straight or branched (C<sub>1</sub>-C<sub>6</sub>) alkylene;

Q comprises -O-, -S-, or -NR<sub>7</sub>; and

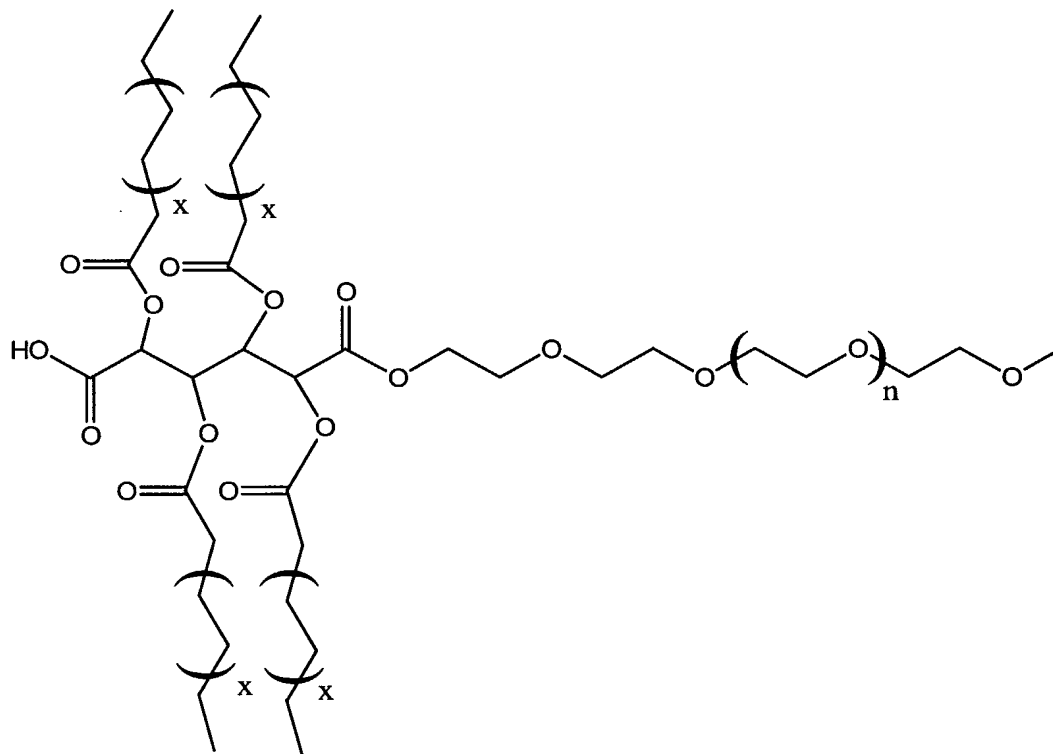
a comprises an integer of about 2 to about 110, inclusive.

186. The method of claim 174, wherein the polyether comprises a polyethylene glycol comprising a methoxy terminal group.

187. The method of claim 174, wherein the fatty acid(s) comprise(s) ( $C_2$ - $C_{24}$ ) fatty acid(s).

188. The method of claim 174, wherein the fatty acid(s) comprise(s) one or more of caprylic, capric, lauric, myristic, myristoleic, palmitic, palmitoleic, stearic, oleic, linoleic, arachidic, behenic, or erucic acid.

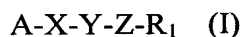
189. The method of claim 174, wherein the compound of formula (I) has the chemical structure



(III)

wherein each x comprises, independently, 1, 2, 3, or 4; and n is about 36.

190. A conjugate comprising, one or more targeting agents linked to a chemical of formula (I):



wherein A comprises a carboxy group or is absent;  
X comprises a polyol, wherein one or more polyol hydroxyls are substituted by acyl;  
Y comprises -C(=O)-, -C(=S)-, or is absent;  
Z comprises O, S or NH; and  
R<sub>1</sub> comprises a polyether.

191. The conjugate of claim 190, wherein in the polyol acyl comprises a fatty acid(s).

192. The conjugate of claim 190 or 191 wherein the polyol comprises a (C<sub>2</sub>-C<sub>20</sub>) alkyl polyol.

193. The conjugate of claim 190 or 191 wherein the polyol comprises about 2 to about 20 hydroxyl groups.

194. The conjugate of claim 190 or 191 wherein the polyol comprises a mono- or dicarboxylic (C<sub>2</sub>-C<sub>20</sub>) alkyl polyol substituted with about 1 to about 10 hydroxyl(s).

195. The conjugate of claim 190 or 191 wherein the polyol comprises one or more of mucic acid, malic acid, citromalic acid, alkylmalic acid, hydroxy glutaric acid derivatives, alkyl glutaric acids, tartaric acid, or citric acid.

196. The method of any one of claims 190-195, wherein the polyol comprises one or more of 2,2-(bis(hydroxymethyl)propionic acid, tricine, or a saccharide.

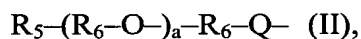
197. The conjugate of any one of claims 190-196 wherein the polyether comprises about 2 to about 150 alkylene oxide units.

198. The conjugate of claim 197 wherein each alkylene oxide unit comprises straight or branched (C<sub>2</sub>-C<sub>4</sub>) alkylene oxide.

199. The conjugate of any one of claims 190-198 wherein the polyether comprises an alkoxy-terminal group.

200. The conjugate of any one of claims 190-199 wherein the polyether is linked to the polyol through a linker comprising ester, thioester, or amide.

201. The conjugate of any one of claims 190-198 wherein the polyether comprises the chemical formula



wherein

$R_5$  comprises straight or branched ( $C_1$ - $C_{20}$ ) alkyl,  $-OH$ ,  $-OR_7$ ,  $-NH_2$ ,  $-NHR_7$ ,  $-NHR_7R_8$ ,  $-CO_2H$ ,  $-SO_3H$  (sulfo),  $-CH_2-OH$ ,  $-CH_2-OR_7$ ,  $-CH_2-O-CH_2-R_7$ ,  $-CH_2-NH_2$ ,  $-CH_2-NHR_7$ ,  $-CH_2-NR_7R_8$ ,  $-CH_2CO_2H$ ,  $-CH_2SO_3H$ , or  $-O-C(=O)-CH_2-CH_2-C(=O)-O-$ ;

$R_6$  comprises straight or branched divalent ( $C_2$ - $C_{10}$ ) alkylene;

each  $R_7$  and  $R_8$  comprises, independently, straight or branched ( $C_1$ - $C_6$ ) alkylene;

$Q$  comprises  $-O-$ ,  $-S-$ , or  $-NR_7$ ; and

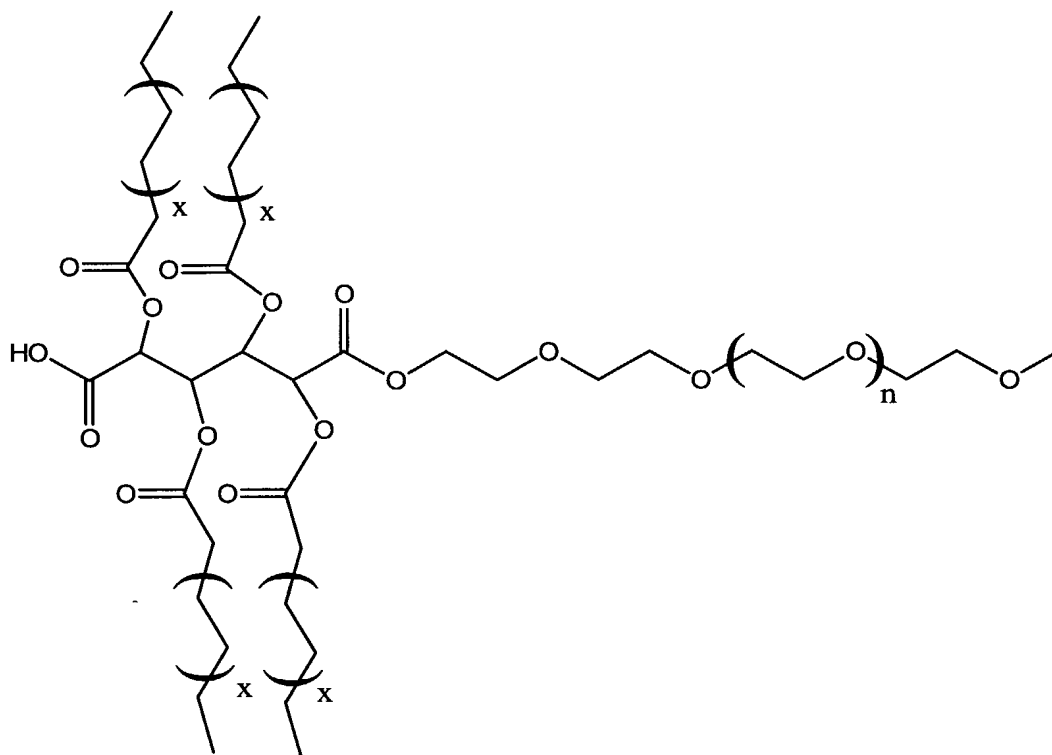
$a$  comprises an integer of about 2 to about 110, inclusive.

202. The conjugate of any one of claims 190-198, wherein the polyether comprises a polyethylene glycol comprising a methoxy terminal group.

203. The conjugate of any one of claims 191-202 wherein the fatty acid(s) comprise(s) ( $C_2$ - $C_{24}$ ) fatty acid(s).

204. The conjugate of any one of claims 191-202, wherein the fatty acid(s) comprise(s) one or more of caprylic, capric, lauric, myristic, myristoleic, palmitic, palmitoleic, stearic, oleic, linoleic, arachidic, behenic, or erucic acid.

205. The conjugate of any one of claims 190-196 wherein the compound of formula (I) has the chemical structure



(III)

wherein each x comprises, independently, 1, 2, 3, or 4; and n is about 36.

206. The conjugate of any one of claims 190-195 wherein the targeting agent is biotin, streptavidin, a saccharide, folic acid, an amino acid, a peptide, an antibody, or an antibody fragment.

207. The conjugate of any one of claims 190-195 wherein the targeting agent is biotin, streptavidin, a saccharide, folic acid, an amino acid, a peptide, an antibody, or an antibody fragment.

208. The conjugate of any one of claims 190-207 which comprises one targeting moiety.

209. The conjugate of any one of claims 190-207 which comprises two or more targeting moieties.

210. The conjugate of any one of claims 190-209 wherein each targeting moiety is covalently linked to the compound of formula (I) through an ether, ester, amide, thioamide, thioester, anhydride, urea, or carbonate group.

211. The conjugate of any one of claims 190-208 wherein each targeting moiety is linked to the compound of formula (I) through a linker.

212. The conjugate of claim 211 wherein each linker comprises from about one to about 20 carbon atoms.

213. The conjugate of claim 212 wherein each linker is linked to a targeting group through an ether, ester, amide, thioamide, thioester, anhydride, urea, or carbonate group.

214. The conjugate of claim 213 wherein each linker is linked to the compound of formula (I) through an ether, ester, amide, thioamide, thioester, anhydride, urea, or carbonate group.

215. The conjugate of any one of claims 190-214 further comprising one or more therapeutic agents linked to the conjugate.

216. The conjugate of claim 215 wherein one or more therapeutic agents is an anti-inflammatory agent, an anti-bacterial agent, an anti-fungal agent, an anti-cancer agent, an anti-thrombotic agent or an immunosuppressive.

217. The conjugate of claim 215 wherein the therapeutic agent is paclitaxel.

218. The conjugate of any one of claims 215-217 wherein a therapeutic agent is covalently linked to the conjugate through an ether, ester, amide, thioamide, thioester, anhydride, urea, or carbonate group.

219. The conjugate of any one of claims 215-217 wherein a therapeutic agent is linked to the conjugate through a linker.

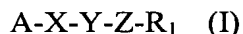
220. The conjugate of claim 219 wherein each linker comprises from about one to about 20 carbon atoms.

221. The conjugate of claim 219 wherein each linker is linked to the therapeutic agent through an ether, ester, amide, thioamide, thioester, anhydride, urea, or carbonate group.

222. The conjugate of claim 221 wherein each linker is linked to the conjugate through an ether, ester, amide, thioamide, thioester, anhydride, urea, or carbonate group.

223. An encapsulate comprising a therapeutic agent surrounded or partially surrounded by at least one conjugate as described in any one of claims 190-222.

224. The encapsulate of claim 223 which comprises one conjugate as described in any one of claims 1-32 and one or more compounds of formula (I):



wherein A comprises a carboxy group or is absent;

X comprises a polyol, wherein one or more polyol hydroxyls are substituted by acyl;

Y comprises -C(=O)-, -C(=S)-, or is absent;

Z comprises O, S or NH; and

R<sub>1</sub> comprises a polyether.

225. The encapsulate of claim 223 or 224 which comprises two or more conjugates as described in any one of claims 1-32.

226. The encapsulate of claim 223 or 224 which comprises five or more conjugates as described in any one of claims 190-222.

227. The encapsulate of claim 223 or 224 which comprises ten or more conjugates as described in any one of claims 190-222.

228. The encapsulate of claim 223 or 224 which comprises twenty or more conjugates as described in any one of claims 190-222.

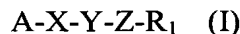
229. The encapsulate of any one of claims 223-228 which comprises two or more compounds of formula (I).

230. The encapsulate of any one of claims 223-228 which comprises five or more compounds of formula (I).

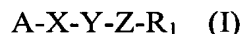
231. The encapsulate of any one of claims 223-228 which comprises ten or more compounds of formula (I).

232. The encapsulate of any one of claims 223-228 which comprises twenty or more compounds of formula (I).

233. An encapsulate comprising an anti-cancer compound surrounded or partially surrounded by, a) one or more conjugates comprising one or more folic acid molecules linked to a chemical of formula (I):



wherein A comprises a carboxy group or is absent; X comprises a polyol, wherein one or more polyol hydroxyls are substituted by acyl; Y comprises -C(=O)-, -C(=S)-, or is absent; Z comprises O, S or NH; and R<sub>1</sub> comprises a polyether; and b) one or more compounds of formula (I):



wherein A comprises a carboxy group or is absent; X comprises a polyol, wherein one or more polyol hydroxyls are substituted by acyl; Y comprises -C(=O)-, -C(=S)-, or is absent; Z comprises O, S or NH; and R<sub>1</sub> comprises a polyether.



234. The encapsulate of claim 233 wherein the anti-cancer compound is 6-azauridine, 6-diazo-5-oxo-L-norleucine, 6-mercaptopurine, aclacinomycin(s), ancitabine, anthramycin, azacitadine, azaserine, bleomycin(s), capecitabine, carubicin, carzinophillin A, chlorozotocin, chromomycin(s), cladribine, cytarabine, daunorubicin, denopterin, docetaxel, doxifluridine, doxorubicin, edatrexate, eflornithine, elliptinium, enocitabine, epirubicin, etoposide, floxuridine, fludarabine, gemcitabine, idarubicin, mannomustine, melphalan, menogaril, methotrexate, mitobronitol, mitolactol, mitomycin C, mitoxantrone, mopidamol, mycophenolic acid, nogalamycin, olivomycin(s), paclitaxel, pentostatin, peplomycin, pirarubicin, piritrexim, plicamycin, podophyllinic acid 2-ethylhydrazine, prednimustine, procarbazine, pteropterin, puromycin, ranimustine, streptonigrin, streptozocin, teniposide, thiamiprine, thioguanine, Tomudex® (N-[[5-[[[(1,4-Dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]methylamino]-2-thienyl]carbonyl]-L-glutamic acid), toptecan, trimetrexate, tubercidin, ubenimex, vinblastine, vindesine, vinorelbine, or zorubicin.

235. The encapsulate of claim 234 wherein the anti-cancer compound is doxorubicin.

236. The encapsulate of any one of claims 223-235 which is provided in the form of a nanoparticulate formulation.

237. A nanoparticulate formulation, comprising one or more encapsulates of any one of claims 223-235.

238. A nanoparticulate formulation, comprising one or more of the compounds of formula (I), (II) or (III) as described in any one of claims 1-22.

239. The use of one or more of the compound of formula (I), (II), or (III) as described in any one of claims 1-22 to prepare a medicament useful for sequestering and/or removing LDL in an animal.